10533838

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=> d his

     (FILE 'HOME' ENTERED AT 18:59:33 ON 10 MAY 2007)

     FILE 'REGISTRY' ENTERED AT 18:59:57 ON 10 MAY 2007
L1                STRUCTURE UPLOADED
L2             23 S L1
L3            353 S L1 FULL

     FILE 'HCAPLUS' ENTERED AT 19:04:15 ON 10 MAY 2007
L4             11 S L3
L5             10 S L4 AND BROWN, W?/AU
L6              1 S L4 NOT L5
L7              0 S L6 AND GRIFFIN, A?/AU

     FILE 'CAOLD' ENTERED AT 19:05:17 ON 10 MAY 2007
=> s l3
L8              0 L3
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Updated Search

10533838

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LOGINID:ssspta1612bxr

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	JAN 08	CHEMLIST enhanced with New Zealand Inventory of Chemicals
NEWS	3	JAN 16	CA/CAplus Company Name Thesaurus enhanced and reloaded
NEWS	4	JAN 16	IPC version 2007.01 thesaurus available on STN
NEWS	5	JAN 16	WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS	6	JAN 22	CA/CAplus updated with revised CAS roles
NEWS	7	JAN 22	CA/CAplus enhanced with patent applications from India
NEWS	8	JAN 29	PHAR reloaded with new search and display fields
NEWS	9	JAN 29	CAS Registry Number crossover limit increased to 300,000 in multiple databases
NEWS	10	FEB 15	PATDPASPC enhanced with Drug Approval numbers
NEWS	11	FEB 15	RUSSIAPAT enhanced with pre-1994 records
NEWS	12	FEB 23	KOREAPAT enhanced with IPC 8 features and functionality
NEWS	13	FEB 26	MEDLINE reloaded with enhancements
NEWS	14	FEB 26	EMBASE enhanced with Clinical Trial Number field
NEWS	15	FEB 26	TOXCENTER enhanced with reloaded MEDLINE
NEWS	16	FEB 26	IFICDB/IFIPAT/IFIUDB reloaded with enhancements
NEWS	17	FEB 26	CAS Registry Number crossover limit increased from 10,000 to 300,000 in multiple databases
NEWS	18	MAR 15	WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS	19	MAR 16	CASREACT coverage extended
NEWS	20	MAR 20	MARPAT now updated daily
NEWS	21	MAR 22	LWPI reloaded
NEWS	22	MAR 30	RDISCLOSURE reloaded with enhancements
NEWS	23	APR 02	JICST-EPLUS removed from database clusters and STN
NEWS	24	APR 30	GENBANK reloaded and enhanced with Genome Project ID field
NEWS	25	APR 30	CHEMCATS enhanced with 1.2 million new records
NEWS	26	APR 30	CA/CAplus enhanced with 1870-1889 U.S. patent records
NEWS	27	APR 30	INPADOC replaced by INPADOCDB on STN
NEWS	28	MAY 01	New CAS web site launched
NEWS	29	MAY 08	CA/CAplus Indian patent publication number format defined

NEWS EXPRESS	NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

Updated Search

10533838

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FILE 'HOME' ENTERED AT 18:59:33 ON 10 MAY 2007

=>
=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 18:59:57 ON 10 MAY 2007
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STRUCTURE FILE UPDATES: 9 MAY 2007 HIGHEST RN 934521-72-9
DICTIONARY FILE UPDATES: 9 MAY 2007 HIGHEST RN 934521-72-9

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TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Documents and Settings\brobinson1\My Documents\stnweb\Queries\23a2321pg.str

L1 STRUCTURE UPLOADED

=> sl 1
SL IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> s l1
SAMPLE SEARCH INITIATED 19:03:59 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 100 TO ITERATE

100.0% PROCESSED 100 ITERATIONS 23 ANSWERS
SEARCH TIME: 00.00.01

Updated Search

10533838

```
FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         1401 TO     2599
PROJECTED ANSWERS:             173 TO      747

L2            23 SEA SSS SAM L1

=> s l1 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 171.65 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 19:04:13 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     2047 TO ITERATE

100.0% PROCESSED     2047 ITERATIONS                                353 ANSWERS
SEARCH TIME: 00.00.01

L3            353 SEA SSS FUL L1

=> file hcaplus
COST IN U.S. DOLLARS                                  SINCE FILE      TOTAL
                                                         ENTRY     SESSION
FULL ESTIMATED COST                                     174.80      175.01

FILE 'HCAPLUS' ENTERED AT 19:04:15 ON 10 MAY 2007
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FILE COVERS 1907 - 10 May 2007  VOL  ISS  ISS
FILE LAST UPDATED: 9 May 2007  (20070509/ED)
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for records published or updated in Chemical Abstracts after December
26, 1996), unless otherwise indicated in the original publications.
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FILE COVERS 1907 - 10 May 2007  VOL 146 ISS 20
FILE LAST UPDATED: 1 May 2007  (20070501/ED)
New CAS Information Use Policies, enter HELP USAGETERMS for details.

 This file contains CAS Registry Numbers for easy and accurate

=> s l3
L4            11 L3

=> s l4 and brown, w?/au
          4124 BROWN, W?/AU
L5            10 L4 AND BROWN, W?/AU

=> d l5, ibib abs fhitstr, 1-10

L5   ANSWER 1 OF 10  HCAPLUS  COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:         2005:638846  HCAPLUS
DOCUMENT NUMBER:          143:153295
```

Updated Search

10533838

TITLE: Preparation of diarylmethylidenylpiperidines for the management of pain

INVENTOR(S): Brown, William; Griffin, Andrew; Walpole, Christopher

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005066128	A1	20050721	WO 2005-SE13	20050105
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005204009	A1	20050721	AU 2005-204009	20050105
CA 2552850	A1	20050721	CA 2005-2552850	20050105
EP 1706380	A1	20061004	EP 2005-704687	20050105
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS				
CN 1926105	A	20070307	CN 2005-80006251	20050105
IN 2006DN03735	A	20070420	IN 2006-DN3735	20060629
NO 2006003617	A	20061009	NO 2006-3617	20060809

PRIORITY APPLN. INFO.: SE 2004-25 A 20040109
WO 2005-SE13 W 20050105

OTHER SOURCE(S): MARPAT 143:153295

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = (un)substituted aryl, heteroaryl; R2 = alkyl, H; R3 = H, COR4, SO2R4, etc.; R4 = H, alkyl, alkenyl, etc.] and their pharmaceutically acceptable salts were prepared For example,N-acetylation of aniline II (R2 = H) with acetic anhydride afforded the TFA salt of diarylmethylidenylpiperidine II (R2 = COCH3) in 100% yield. In human δ receptor assays, certain examples of compds. I exhibited IC50 values ranging from 0.22-2.34 nM, with an average of 0.98 nM (sic).

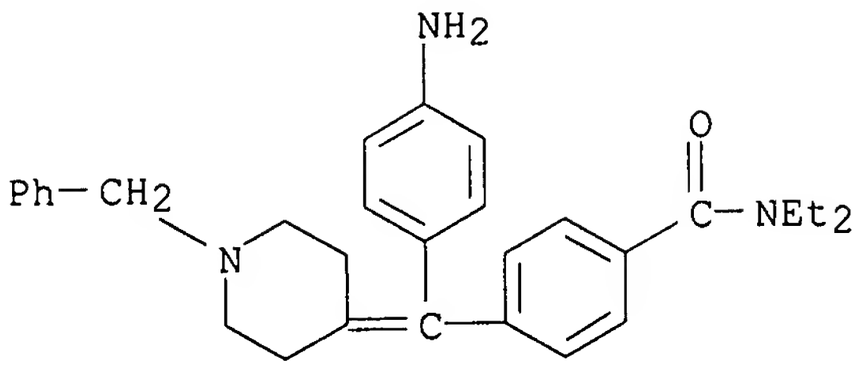
IT 859911-39-0P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of diarylmethylidenylpiperidines for the management of pain)

RN 859911-39-0 HCAPLUS

CN Benzamide, 4-[(4-aminophenyl)[1-(phenylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, monohydrochloride (9CI) (CA INDEX NAME)

Updated Search

10533838



● HCl

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:638845 HCAPLUS
DOCUMENT NUMBER: 143:153294
TITLE: Preparation of diarylmethylidenylpiperidines for the management of pain
INVENTOR(S): Brown, William; Griffin, Andrew
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005066127	A1	20050721	WO 2005-SE12	20050105
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005204008	A1	20050721	AU 2005-204008	20050105
CA 2552946	A1	20050721	CA 2005-2552946	20050105
EP 1706379	A1	20061004	EP 2005-704686	20050105
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS				
CN 1926106	A	20070307	CN 2005-80006331	20050105
IN 2006DN03740	A	20070420	IN 2006-DN3740	20060629
NO 2006003618	A	20061009	NO 2006-3618	20060809

PRIORITY APPLN. INFO.: SE 2004-26 A 20040109
WO 2005-SE12 W 20050105

OTHER SOURCE(S): MARPAT 143:153294

Updated Search

10533838

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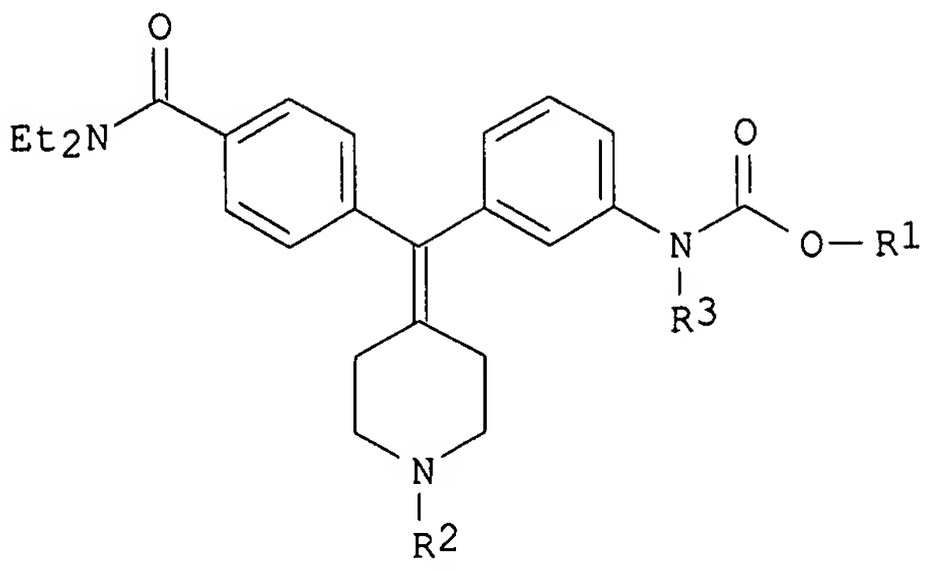

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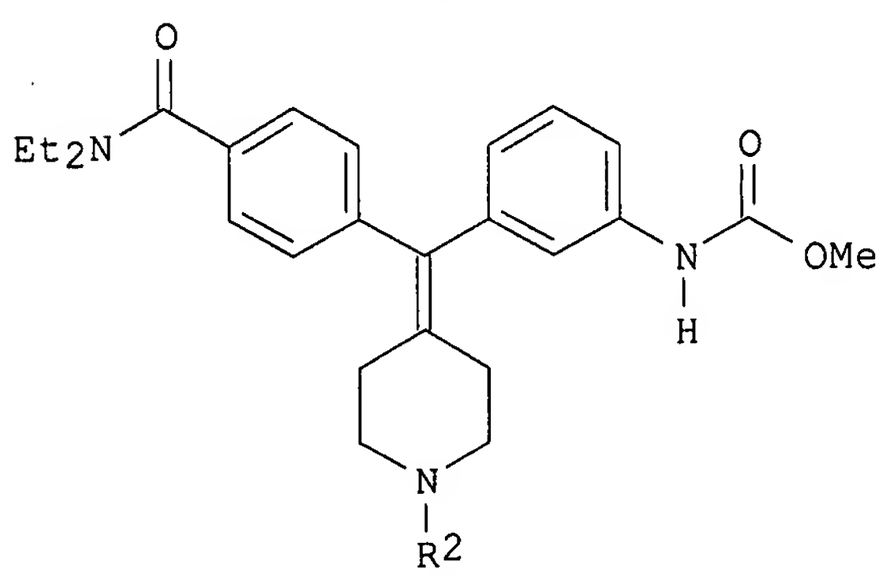


II

AB Title compds. I [R1, R3 = H, alkyl, cycloalkyl, etc.; R2 = alkyl, alkenyl, cycloalkyl, etc.] and their pharmaceutically acceptable salts were prepared For example, N-alkylation of piperidine II (R2 = H) with 1-iodopropane afforded the TFA salt of diarylmethylidenylpiperidine II (R2 = CH2CH2CH3) in 54% yield. In human δ receptor assays, certain examples of compds. I exhibited IC50 values ranging from 0.18-3.7 nM, with an average of 0.56 nM (sic).

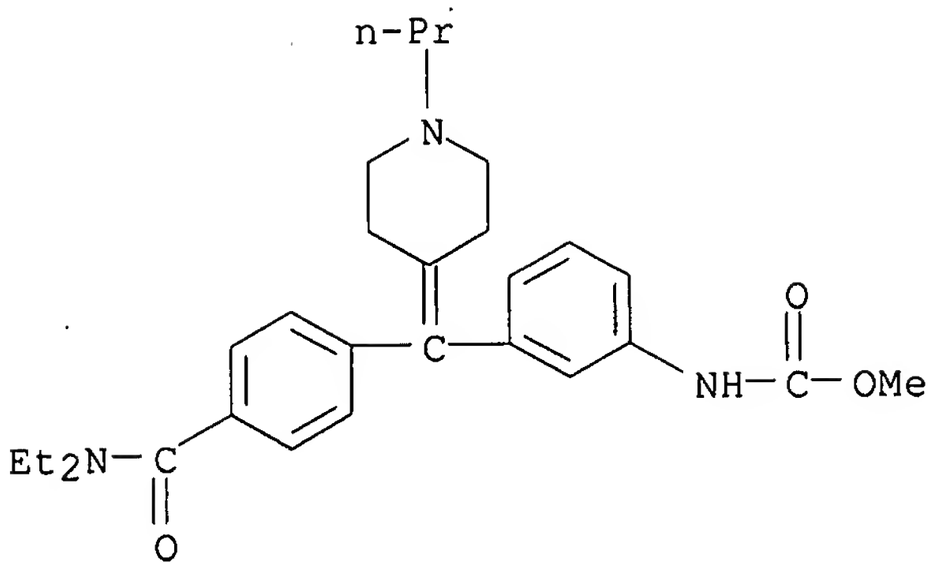
IT 859911-03-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diarylmethylidenylpiperidines for the management of pain)

RN 859911-03-8 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-propyl-4-piperidinylidene)methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Updated Search

10533838



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:1016017 HCAPLUS
DOCUMENT NUMBER: 142:6430
TITLE: Preparation of diarylmethylidene piperidine derivatives as opioid δ receptor ligands for treating pain, anxiety and functional gastrointestinal disorders
INVENTOR(S): Brown, William L.; Griffin, Andrew; Jin, Shujuan
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 131 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004101522	A1	20041125	WO 2004-GB2074	20040513
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004238618	A1	20041125	AU 2004-238618	20040513
CA 2525860	A1	20041125	CA 2004-2525860	20040513
EP 1641757	A1	20060405	EP 2004-732665	20040513
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004010347	A	20060530	BR 2004-10347	20040513
CN 1823040	A	20060823	CN 2004-80020330	20040513
JP 2007503457	T	20070222	JP 2006-530500	20040513
US 2007099957	A1	20070503	US 2005-555980	20051108
NO 2005005998	A	20060213	NO 2005-5998	20051216

Updated Search

10555980

10533838

PRIORITY APPLN. INFO.:

SE 2003-1444	A	20030516
SE 2004-24	A	20040109
WO 2004-GB2074	W	20040513

OTHER SOURCE(S): MARPAT 142:6430

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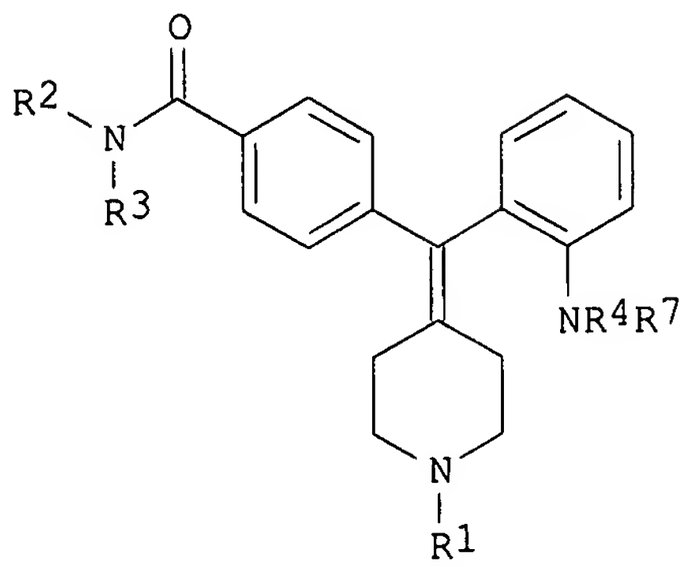


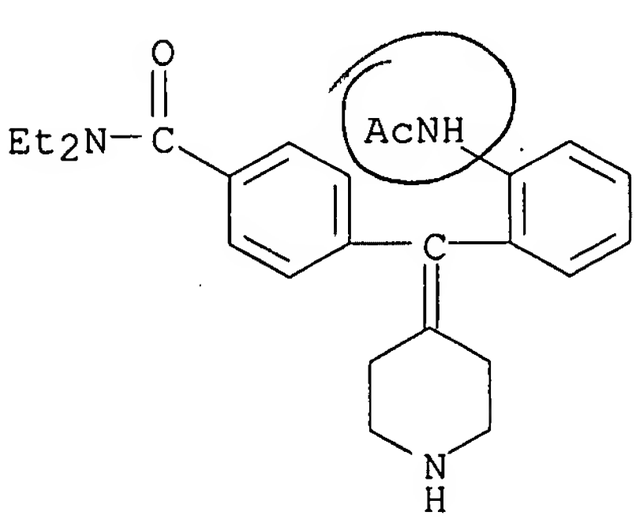
I

AB The title compds. [I; R1 = H, (un)substituted alkyl, aryl, etc.; R2-R4 = H, (un)substituted alkyl, cycloalkyl; R7 = H, OH, alkyl, etc.] which are useful in therapy, in particular in the management of pain, were prepared E.g., a multi-step synthesis of I [R1 = H; R2, R3 = Et; R4 = COPh; R7 = H], starting from Me 4-(bromomethyl)benzoate, was given. The compds. I were found to be active toward human δ receptors. Generally, for most of the compds. I the IC50 values are in the range of 0.48 nM to 17.9 nM. The pharmaceutical composition comprising the compound I is disclosed.

IT 798549-77-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of diarylmethylidene piperidine derivs. as opioid δ receptor ligands for treating pain, anxiety and functional gastrointestinal disorders)

RN 798549-77-6 HCAPLUS

CN Benzamide, 4-[[2-(acetylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (9CI) (CA INDEX NAME)



Isomer 103, 1 or 2

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Updated Search

10533838

L5 ANSWER 4 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:610034 HCAPLUS
DOCUMENT NUMBER: 141:140326
TITLE: Preparation of diarylmethylidene piperidines as δ-opioid receptor ligands for the treatment of pain.
INVENTOR(S): Brown, William; Griffin, Andrew; Walpole, Christopher
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004062562	A2	20040729	WO 2004-GB99	20040113
WO 2004062562	A3	20040916		
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AU 2004204390	A1	20040729	AU 2004-204390	20040113
CA 2510382	A1	20040729	CA 2004-2510382	20040113
EP 1587790	A2	20051026	EP 2004-701624	20040113
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2004006614	A	20051206	BR 2004-6614	20040113
CN 1738801	A	20060222	CN 2004-80002275	20040113
JP 2006516559	T	20060706	JP 2006-500202	20040113
IN 2005DN02714	A	20070112	IN 2005-DN2714	20050620
US 2006154964	A1	20060713	US 2005-541522	20050707
NO 2005003809	A	20051017	NO 2005-3809	20050812

PRIORITY APPLN. INFO.: SE 2003-105 A 20030116
WO 2004-GB99 W 20040113

OTHER SOURCE(S): MARPAT 141:140326
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = (un)substituted aryl, heteroaryl; R2, R3, R4, R5 = H, alkyl, cycloalkyl] and their pharmaceutically acceptable salts were prepared For example, acylation of aniline II [R6 = H], e.g., prepared from 4-(bromomethyl)benzoic acid Me ester in 8-steps, with acetyl chloride afforded piperidine II [R6 = COMe] as the trifluoroacetic acid salt in 52% yield. In human δ-opioid receptor binding assays, 7-examples of compds. I exhibited IC50 values ranging from 0.19-1.49 nM. Compds. I are claimed useful in the management of pain.

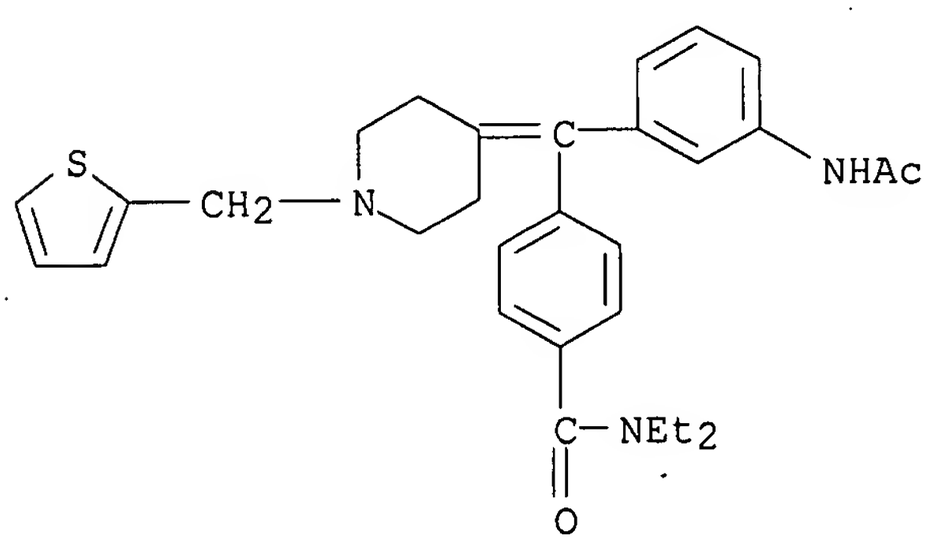
IT 725242-56-8P, 4-[[3-(Acetylamino)phenyl][1-(thien-2-ylmethyl)piperidin-4-ylidene]methyl]-N,N-diethylbenzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diarylmethylidene piperidines as δ-opioid receptor

Updated Search

ligands for the treatment of pain.)

RN 725242-56-8 HCAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(2-thienylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (9CI) (CA INDEX NAME)



L5 ANSWER 5 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:606467 HCAPLUS

DOCUMENT NUMBER: 141:157038

TITLE: Preparation of 4-[3-(sulfonylamino)phenyl-1-(cyclymethyl)piperidin-4-ylidenemethyl]benazmide derivatives as delta opioid receptor ligands

INVENTOR(S): Brown, William; Griffin, Andrew; Walpole, Christopher

PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004063193	A1	20040729	WO 2004-GB61	20040113
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ				
EP 1590346	A1	20051102	EP 2004-701628	20040113
EP 1590346	B1	20061025		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006515352	T	20060525	JP 2006-500189	20040113
AT 343571	T	20061115	AT 2004-701628	20040113
US 2006148850	A1	20060706	US 2005-541664	20050707

PRIORITY APPLN. INFO.: SE 2003-104 A 20030116
WO 2004-GB61 W 20040113

OTHER SOURCE(S): MARPAT 141:157038

GI

Updated Search

10533838

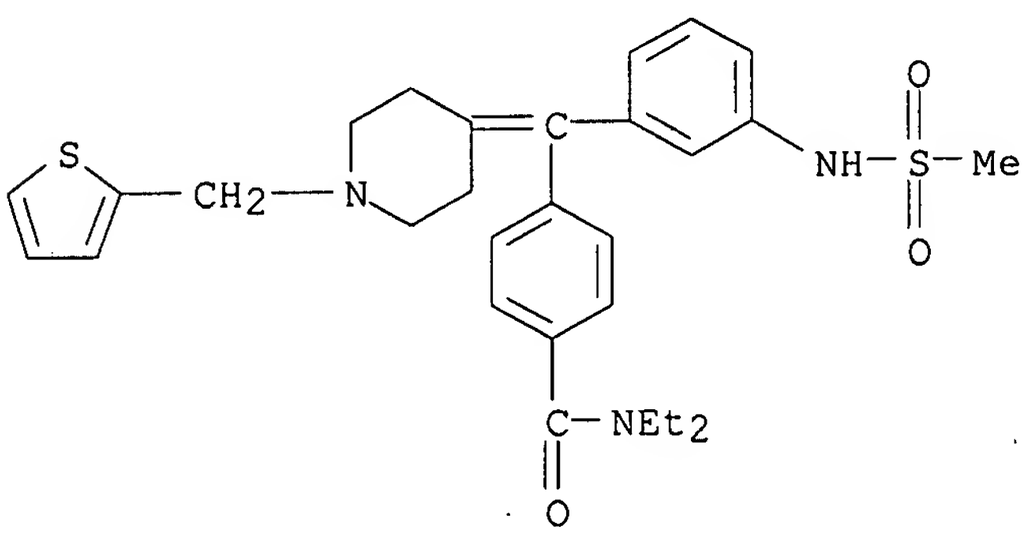
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = aryl, heteroaryl, etc.; R2-5 = H, alkyl, cycloalkyl, etc.] are prepared For instance, 4-[bromo(4-carboxyphenyl)methylene]piperidine-1-carboxylic acid tert-Bu ester (preparation given) is converted to the diethylamide (CH2Cl2, i-BuO2CCl, HNEt2), deprotected (CH2Cl2, TFA), alkylated with thiophene-2-carboxaldehyde (1,2-dichloroethane, NaHB(OAc)3), coupled to m-aminobenzeneboronic acid (PhMe/EtOH/H2O, Pd(PPh3)4, Na2CO3) and finally treated with methanesulfonic anhydride to give II. Compds. of the invention have IC50 in the range of 0.18 - 0.56 nM for the δ-opioid receptor. I are useful in the management of pain.

IT 728917-19-9P, N,N-Diethyl-4-[[3-[(methylsulfonyl)amino]phenyl][1-(thien-2-ylmethyl)piperidin-4-ylidene]methyl]benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-[3-(sulfonylamino)phenyl-1-(cyclymethyl)piperidin-4-ylidenemethyl]benazmide derivs. as delta opioid receptor ligands)

RN 728917-19-9 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[(methylsulfonyl)amino]phenyl][1-(2-thienylmethyl)-4-piperidinylidene]methyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 6 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:606441 HCAPLUS
DOCUMENT NUMBER: 141:140324
TITLE: Preparation of diarylmethylidene piperidines as δ-opioid receptor ligands for the treatment of pain.
INVENTOR(S): Brown, William; Griffin, Andrew; Walpole, Christopher
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004063157	A1	20040729	WO 2004-GB116	20040113
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,				

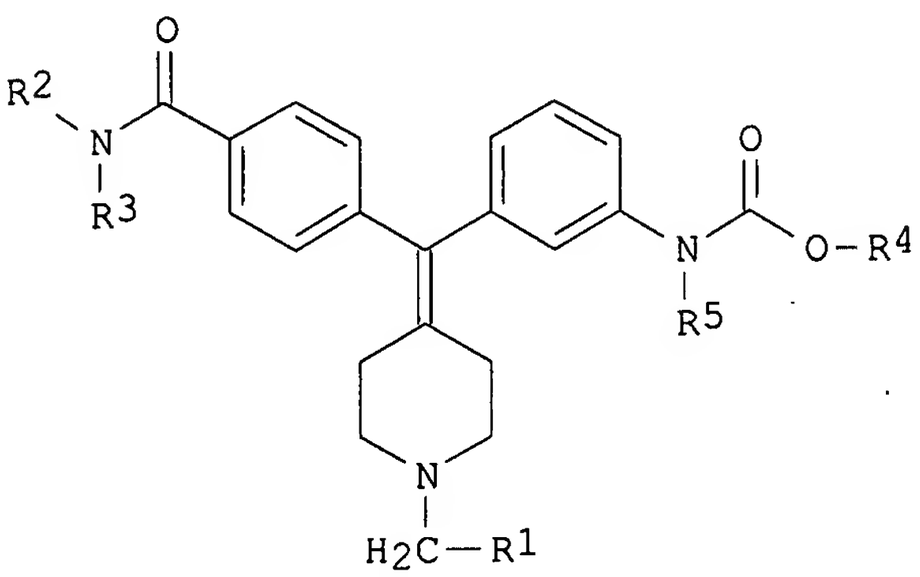
Updated Search

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ

AU 2004203969	A1	20040729	AU 2004-203969		20040113
CA 2510400	A1	20040729	CA 2004-2510400		20040113
EP 1587791	A1	20051026	EP 2004-701634		20040113
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
BR 2004006594	A	20051220	BR 2004-6594		20040113
CN 1735596	A	20060215	CN 2004-80002123		20040113
JP 2006515356	T	20060525	JP 2006-500208		20040113
IN 2005DN02716	A	20070112	IN 2005-DN2716		20050620
US 2006116399	A1	20060601	US 2005-541656		20050707
NO 2005003805	A	20051017	NO 2005-3805		20050812
PRIORITY APPLN. INFO.:			SE 2003-103	A	20030116
			WO 2004-GB116	W	20040113

OTHER SOURCE(S): MARPAT 141:140324

GI



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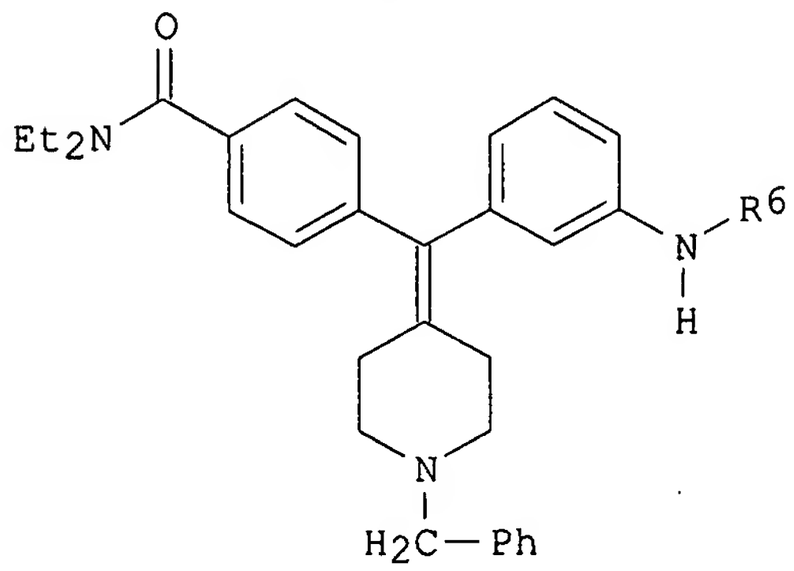


II

AB Title compds. I [R1 = (un)substituted aryl, heteroaryl; R2, R3, R4, R5 = H, alkyl, cycloalkyl] and their pharmaceutically acceptable salts were prepared For example, acylation of aniline II [R6 = H], e.g., prepared from 4-(bromomethyl)benzoic acid Me ester in 8-steps, with Me chloroformate, afforded piperidine II [R6 = COOMe] as the trifluoroacetic acid salt in 38% yield. In human δ-opioid receptor binding assays, 4-examples of compds. I exhibited IC50 values ranging from 0.30-0.48 nM, e.g., the IC50 value of piperidine II [R6 = COOMe] was 0.48 nM. Compds. I are claimed

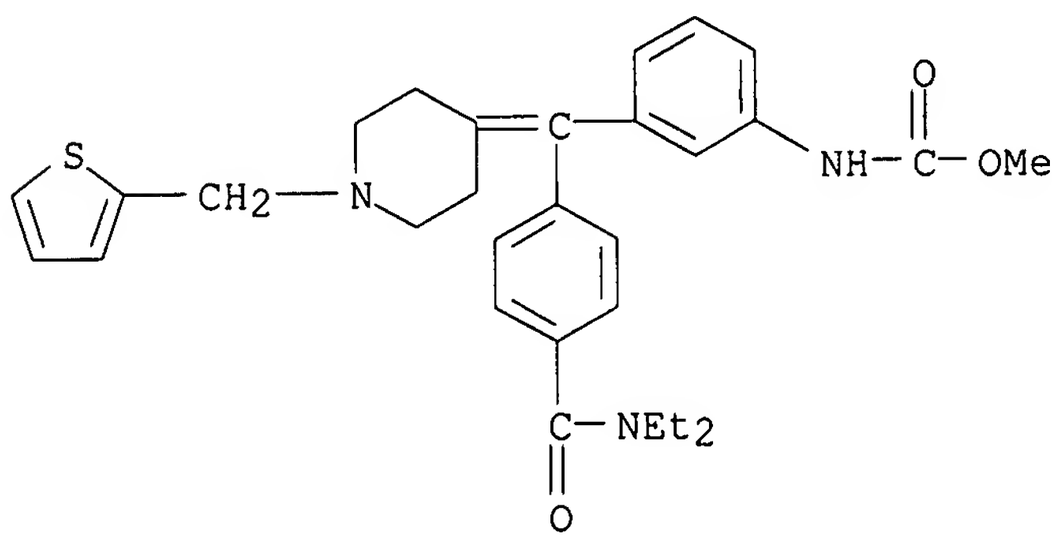
Updated Search

useful in the management of pain.

IT 725229-70-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diarylmethylidene piperidines as δ-opioid receptor ligands for the treatment of pain.)

RN 725229-70-9 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(2-thienylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 7 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:412920 HCAPLUS
DOCUMENT NUMBER: 140:423590
TITLE: Preparation of 4-(phenylpiperidin-4-ylidenemethyl)benzamides for treatment of pain, anxiety, or gastrointestinal disorders
INVENTOR(S): Brown, William; Griffin, Andrew
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004041784	A1	20040521	WO 2003-SE1705	20031105
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003274885	A1	20040607	AU 2003-274885	20031105
EP 1567496	A1	20050831	EP 2003-759165	20031105
EP 1567496	B1	20070411		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

Updated Search

10533838

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
JP 2006514617	T	20060511	JP 2004-549774		20031105
US 2006014789	A1	20060119	US 2005-533838		20050504
PRIORITY APPLN. INFO.:			SE 2002-3301	A	20021107
			WO 2003-SE1705	W	20031105

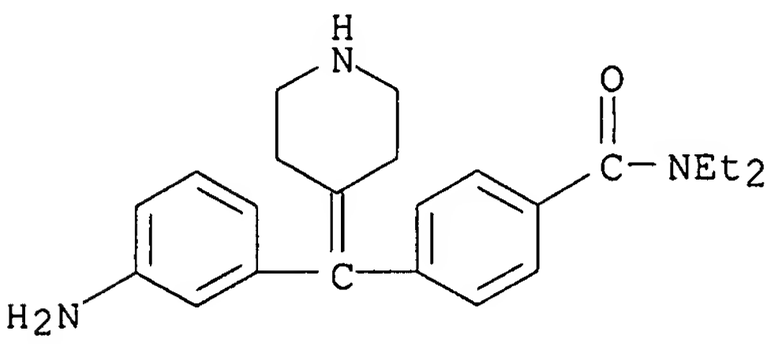
OTHER SOURCE(S): MARPAT 140:423590
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein R1 = (un)substituted alkyl, cycloalkyl(alkyl), (hetero)aryl, R8CO, R8SO2, R8SO, R8NHCO, R8CS, or R8NHCS; ; R2 = H or (un)substituted alkyl; R3 = H or (un)substituted alkoxycarbonyl, alkyl, or cycloalkyl(alkyl); R8 = (un)substituted alkyl, (hetero)aryl(alkyl), or cycloalkyl(alkyl); or pharmaceutically acceptable salts thereof] were prepared as opioid δ receptor ligands. For example, reaction of 4-(bromomethyl)benzoic acid Me ester with P(OMe)3, followed by addition of 1-(tert-butoxycarbonyl)-4-piperidone in the presence of LDA in THF, gave 4-(4-methoxycarbonylbenzylidene)piperidine-1-carboxylic acid tert-Bu ester (35%). Addition of Br2 (78%) and reaction with NaOH in MeOH provided 4-[bromo(4-carboxyphenyl)methylene]piperidine-1-carboxylic acid tert-Bu ester (87%). Conversion to the benzoyl chloride with iso-Bu chloroformate and amidation (73%) with Et2NH in the presence of TEA in CH2Cl2, followed by coupling with 3-aminophenylboronic acid using Pd(PPh3)4 and Na2CO3 in toluene/EtOH/H2O afforded N,N-diethyl-4-[(3-aminophenyl)(piperidin-4-ylidene)methyl]benzamide (97%). Alkylation of the amine with benzaldehyde and NaBH(OAc)3 in 1,2-dichloroethane gave II. In binding assays using human 293S cells expressing cloned human opioid receptors and neomycin resistance, most compds. of the invention exhibited activity toward the δ receptor with IC50 values in the range of 0.14 nM - 31.2 nM. Exemplified compds. also showed some activity toward the κ and μ receptors with IC50 values in the ranges of 36 nM - 9680 nM and 3 nM - 5975 nM, resp. Thus, I and their pharmaceutical compns. are useful in therapy, in particular for the treatment of gastrointestinal disorders, anxiety, or pain (no data).

IT 209807-69-2P, N,N-Diethyl-4-[(3-aminophenyl)(piperidin-4-ylidene)methyl]benzamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of (phenylpiperidinylidenemethyl)benzamides as δ receptor agonists for treatment of pain, anxiety, or gastrointestinal disorders)

RN 209807-69-2 HCAPLUS
CN Benzamide, 4-[(3-aminophenyl)-4-piperidinylidenemethyl]-N,N-diethyl- (9CI) (CA INDEX NAME)



Updated Search

10533838

L5 ANSWER 8 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:906200 HCAPLUS
DOCUMENT NUMBER: 138:4523
TITLE: Preparation of 4-(phenyl-piperidin-4-ylidene-methyl)-benzamides as δ opioid receptor agonists for the treatment of pain, anxiety or gastrointestinal disorders
INVENTOR(S): Wei, Zhongyong; Brown, William; Walpole, Christopher
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094812	A1	20021128	WO 2002-SE953	20020516
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2446332	A1	20021128	CA 2002-2446332	20020516
AU 2002305992	A1	20021203	AU 2002-305992	20020516
EE 200300540	A	20040216	EE 2003-540	20020516
EP 1395576	A1	20040310	EP 2002-733710	20020516
EP 1395576	B1	20050831		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CN 1509282	A	20040630	CN 2002-810195	20020516
BR 2002009676	A	20040727	BR 2002-9676	20020516
HU 200401096	A2	20040928	HU 2004-1096	20020516
JP 2005510457	T	20050421	JP 2002-591485	20020516
AT 303379	T	20050915	AT 2002-733710	20020516
IN 2003MN01010	A	20050624	IN 2003-MN1010	20031103
ZA 2003008633	A	20050207	ZA 2003-8633	20031105
BG 108326	A	20041230	BG 2003-108326	20031107
US 2004142967	A1	20040722	US 2003-477821	20031113
US 7074808	B2	20060711		
IN 2004MN00262	A	20050429	IN 2004-MN262	20040506

PRIORITY APPLN. INFO.: SE 2001-1765 A 20010518
WO 2002-SE953 W 20020516
IN 2003-MN1010 A3 20031103

OTHER SOURCE(S): CASREACT 138:4523; MARPAT 138:4523
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Updated Search

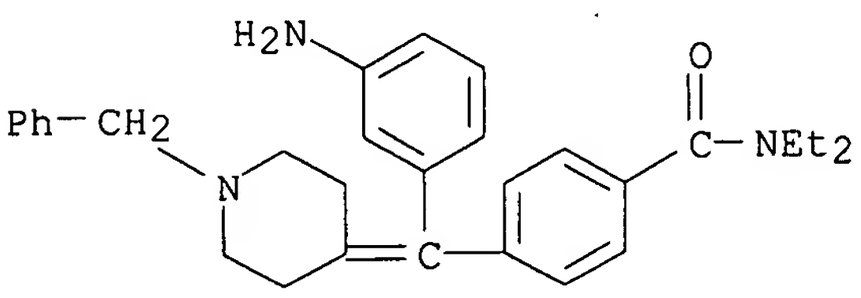
10533838

AB The title compds. [I; R1 = (un)substituted Ph, pyridyl, pyrrolyl, thienyl, furanyl, imidazolyl, triazolyl, thiazolyl and pyridyl N-oxide], useful in therapy, in particular in the management of pain, anxiety and functional gastrointestinal disorders, were prepared and formulated. Thus, treating the vinyl bromide II (5-step synthesis given) with TFA in CH2Cl2 followed by N-alkylation of the deprotected intermediate with PhCH2Br, and coupling of III with 3-aminophenylboronic acid afforded I [R1 = Ph]. The exemplified compds. I showed IC50 of 0.22-2.18 nM against δ receptor binding.

IT 477185-74-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-(phenyl-piperidin-4-ylidene-methyl)-benzamides as δ opioid receptor agonists for the treatment of pain, anxiety or gastrointestinal disorders)

RN 477185-74-3 HCAPLUS

CN Benzamide, 4-[(3-aminophenyl)[1-(phenylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:906158 HCAPLUS

DOCUMENT NUMBER: 138:4531

TITLE: Preparation of 4-(phenyl-piperidin-4-ylidene-methyl)-benzamides as δ opioid receptor agonists for the treatment of pain, anxiety or gastrointestinal disorders

INVENTOR(S): Brown, William; Walpole, Christopher; Wei, Zhongyong

PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.

SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094786	A1	20021128	WO 2002-SE954	20020516

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,

Updated Search

CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

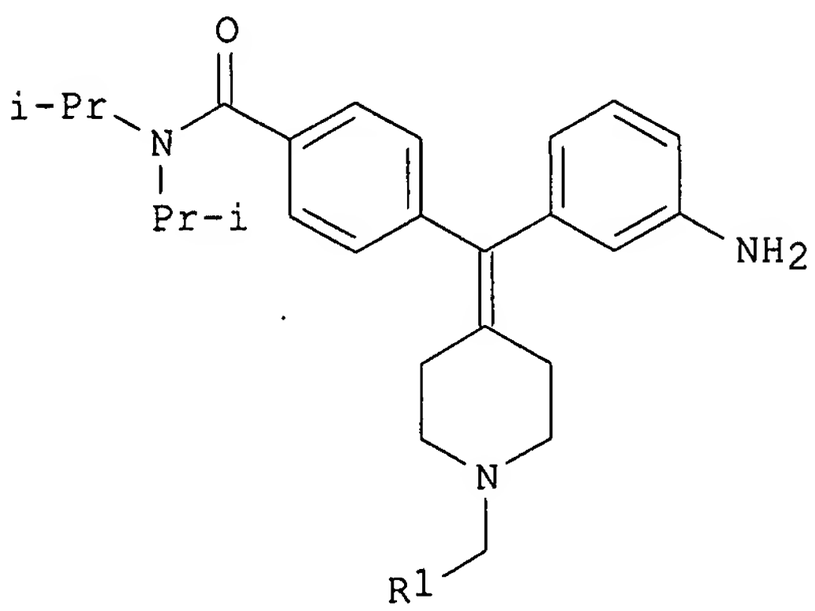
CA 2446155	A1	20021128	CA 2002-2446155		20020516
AU 2002307616	A1	20021203	AU 2002-307616		20020516
EE 200300527	A	20040216	EE 2003-527		20020516
EP 1395559	A1	20040310	EP 2002-771798		20020516

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

CN 1509271	A	20040630	CN 2002-810186		20020516
BR 2002009677	A	20040727	BR 2002-9677		20020516
HU 200401113	A2	20040928	HU 2004-1113		20020516
JP 2005508292	T	20050331	JP 2002-591459		20020516
IN 2003MN01014	A	20060106	IN 2003-MN1014		20031103
ZA 2003008634	A	20050525	ZA 2003-8634		20031105
BG 108327	A	20041230	BG 2003-108327		20031107
US 2004147556	A1	20040729	US 2003-477851		20031113
US 7022715	B2	20060404			
PRIORITY APPLN. INFO.:			SE 2001-1766	A	20010518
			WO 2002-SE954	W	20020516

OTHER SOURCE(S): CASREACT 138:4531; MARPAT 138:4531

GI



I

AB The title compds. [I; R1 = (un)substituted Ph, pyridyl, pyrrolyl, thienyl, furanyl, imidazolyl, triazolyl, thiazolyl and pyridyl N-oxide], useful in therapy, in particular in the management of pain, anxiety and functional gastrointestinal disorders, were prepared and formulated. E.g., two alternative multi-step prepns. of the benzamide I [R1 = Ph], were given. The exemplified compds. I showed IC50 of 0.78-4.85 nM against δ receptor binding.

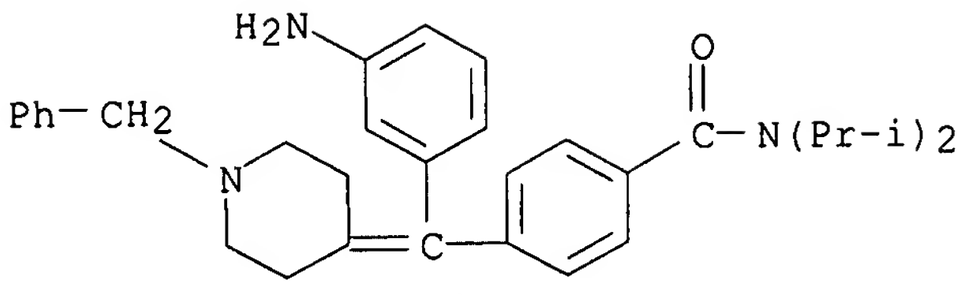
IT 477185-85-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-(phenyl-piperidin-4-ylidene-methyl)-benzamides as δ opioid receptor agonists for the treatment of pain, anxiety or gastrointestinal disorders)

RN 477185-85-6 HCAPLUS

CN Benzamide, 4-[(3-aminophenyl)[1-(phenylmethyl)-4-piperidinylidene]methyl]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)

Updated Search

10533838



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 10 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:653166 HCAPLUS
DOCUMENT NUMBER: 134:4837
TITLE: N,N-Diethyl-4-(phenylpiperidin-4-ylidenemethyl)benzamide: A Novel, Exceptionally Selective, Potent δ Opioid Receptor Agonist with Oral Bioavailability and Its Analogues
AUTHOR(S): Wei, Zhong-Yong; Brown, William; Takasaki, Bryan; Plobeck, Niklas; Delorme, Daniel; Zhou, Fei; Yang, Hua; Jones, Paul; Gawell, Lars; Gagnon, Helene; Schmidt, Ralf; Yue, Shi-Yi; Walpole, Chris; Payza, Kemal; St-Onge, Stephane; Labarre, Maryse; Godbout, Claude; Jakob, Andrea; Butterworth, Joanne; Kamassah, Augustus; Morin, Pierre-Emmanuel; Projean, Denis; Ducharme, Julie; Roberts, Edward
CORPORATE SOURCE: Departments of Chemistry and Pharmacology, Astra Zeneca R&D Montreal, Saint-Laurent, QC, H4S 1Z9, Can.
SOURCE: Journal of Medicinal Chemistry (2000), 43(21), 3895-3905
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

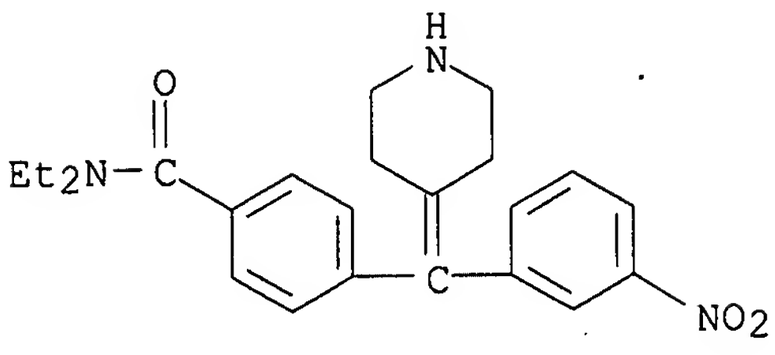
AB The design, synthesis, and pharmacol. evaluation of a novel class of δ opioid receptor agonists, N,N-diethyl-4-(phenylpiperidin-4-ylidenemethyl)benzamide (I) and its analogs, are described. These compds., formally derived from SNC-80 by replacing the piperazine ring with a piperidine ring containing an exocyclic carbon carbon double bond, were found to bind with high affinity and exhibit excellent selectivity for the δ opioid receptor as full agonists. I, the simplest structure in the class, exhibited an IC50 = 0.87 nM for the δ opioid receptors and extremely high selectivity over the μ receptors (μ/δ = 4370) and the κ receptors (κ/δ = 8590). Rat liver microsome studies on a selected number of compds. show these olefinic piperidine compds. to be considerably more stable than SNC-80. This novel series of compds. appear to interact with δ opioid receptors in a similar way to SNC-80 since they demonstrate similar SAR. Two general approaches have been established for the synthesis of these compds., based on dehydration of benzhydryl alcs. and Suzuki coupling reactions of vinyl bromide.

IT 209807-56-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of N,N-diethyl-4-(phenylpiperidin-4-ylidenemethyl)benzamide and its analogs as selective δ-opioid receptor agonists)
RN 209807-56-7 HCAPLUS
CN Benzamide, N,N-diethyl-4-[(3-nitrophenyl)-4-piperidinylidenemethyl]- (9CI)

Updated Search

10533838

(CA INDEX NAME)



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 18:59:33 ON 10 MAY 2007)

FILE 'REGISTRY' ENTERED AT 18:59:57 ON 10 MAY 2007
L1 STRUCTURE UPLOADED
L2 23 S L1
L3 353 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 19:04:15 ON 10 MAY 2007
L4 11 S L3
L5 10 S L4 AND BROWN, W?/AU

=> s l4 not l5
L6 1 L4 NOT L5

=> s l6 and griffin, a?/au
872 GRIFFIN, A?/AU
L7 0 L6 AND GRIFFIN, A?/AU

=> d l6, ibib abs hitstr, 1

L6 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:479508 HCAPLUS
DOCUMENT NUMBER: 129:95406
TITLE: Preparation of 4-[diaryl- or (arylheteroaryl)methylene]piperidine derivatives with analgesic effect
INVENTOR(S): Delorme, Daniel; Roberts, Edward; Wei, Zhongyong
PATENT ASSIGNEE(S): Astra Pharma Inc., Can.; Astra Aktiebolag (Publ)
SOURCE: PCT Int. Appl., 129 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9828275	A1	19980702	WO 1997-SE2050	19971209
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR,				

Updated Search

KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG,
US, UZ, VN, YU, ZW
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI,
FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM,
GA, GN, ML, MR, NE, SN, TD, TG

TW 548271	B	20030821	TW 1997-86118465		19971208
ZA 9711059	A	19980622	ZA 1997-11059		19971209
CA 2274074	A1	19980702	CA 1997-2274074		19971209
CA 2274074	C	20060711			
AU 9853512	A	19980717	AU 1998-53512		19971209
AU 737999	B2	20010906			
EP 946511	A1	19991006	EP 1997-950538		19971209
EP 946511	B1	20050525			

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO

CN 1246111	A	20000301	CN 1997-181814		19971209
BR 9714055	A	20000509	BR 1997-14055		19971209
HU 200000610	A2	20000928	HU 2000-610		19971209
HU 200000610	A3	20001030			
NZ 336029	A	20010330	NZ 1997-336029		19971209
JP 2001507350	T	20010605	JP 1998-528669		19971209
EE 3824	B1	20020815	EE 1999-256		19971209
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SK 283211	B6	20030304	SK 1999-762		19971209
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PL 189196	B1	20050729	PL 1997-334374		19971209
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PT 946511	T	20050930	PT 1997-950538		19971209
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IN 1997DE03689	A	20050311	IN 1997-DE3689		19971218
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NO 9903022	A	19990820	NO 1999-3022		19990618
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PRIORITY APPLN. INFO.:	SE 1996-4785	A	19961220
	SE 1997-2535	A	19970701
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	US 2001-761833	A1	20010118
	US 2002-222990	A1	20020819

OTHER SOURCE(S): MARPAT 129:95406
GI

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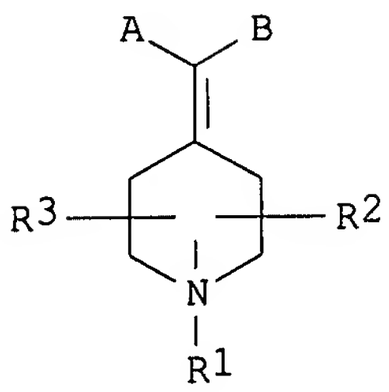

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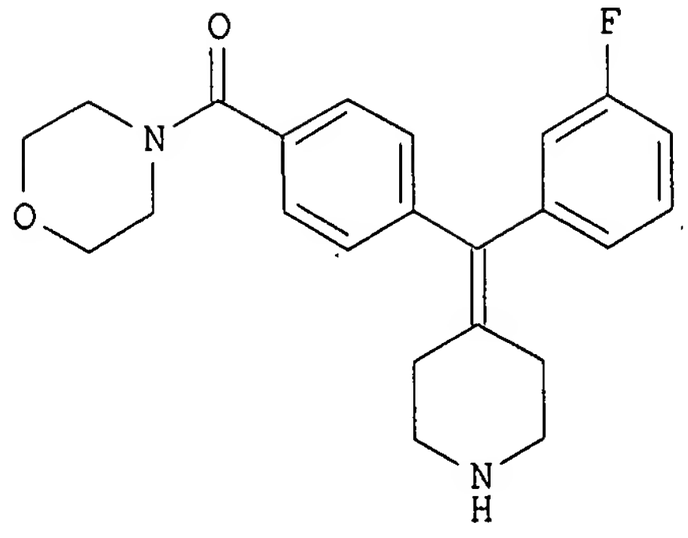


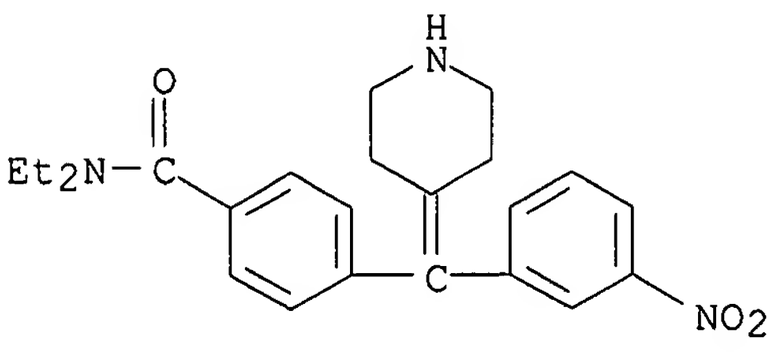
II

AB Compds. of general formula [I; R1 = H, linear or branched C1-6 alkyl, C1-6 alkenyl, C3-8 cycloalkyl, C3-6 cycloalkyl-C1-2 alkyl, C6-10 aryl, heteroaryl having 5 to 10 atoms selected from C, S, N, and O, C1-2 alkyl-(un)substituted C6-10 aryl, C1-2 alkyl-(un)substituted heteroaryl having 5 to 10 atoms selected from C, S, N, and O; R2, R3 = H, C1-6 alkyl; A = N and/or benzene-ring 4-carbamoylphenyl, 4-sulfamoylphenyl, acylaminophenyl, or acylphenyl wherein N and/or benzene-ring are optionally substituted; B = (un)substituted aromatic, heteroarom., hydroarom., or heterohydroarom. moieties having 5 to 10 atoms selected from C, S, N, and O atoms] are disclosed and claimed in the present application, as well as their pharmaceutically acceptable salts, pharmaceutical compns. comprising the novel compds., their use in therapy, in particular in the management of pain and in the treatment of gastrointestinal disorders, spinal injuries, disorders of the sympathetic nervous system, and isotopically labeled I as diagnostic agents. The compds. are ligands for opioid receptor, have analgesic effect, and are useful for the treatment of various pain conditions such as chronic pain, acute pain, cancer pain, pain caused by rheumatoid arthritis, migraine, visceral pain, etc. (no data). Thus, tert-Bu 4-[bromo[4-(morpholinocarbonyl)phenyl]methylene]-1-piperidinecarboxylate (preparation given) was coupled with 3-fluorophenylboronic acid in the presence of (PPh3)4Pd and Na2CO3 in aqueous EtOH at 80° for 2 h under N followed by treatment with CF3CO2H and acidification with aqueous HCl to give the title compound (II.HCl).

IT 209807-56-7P 209807-69-2P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of [diaryl- or (arylheteroaryl)methylene]piperidine derivs. with analgesic effect)

RN 209807-56-7 HCAPLUS

CN Benzamide, N,N-diethyl-4-[(3-nitrophenyl)-4-piperidinylidenemethyl]- (9CI) (CA INDEX NAME)



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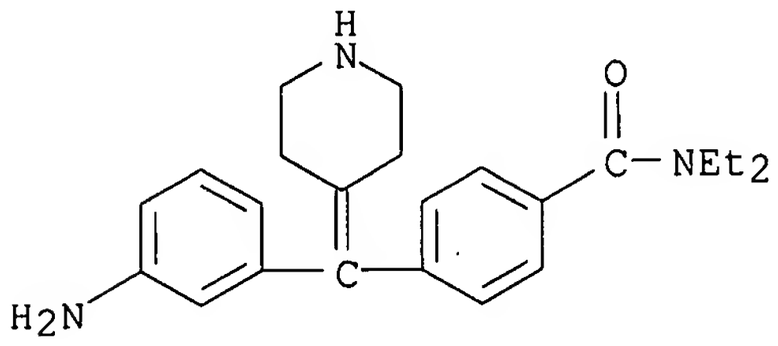
RN 209807-69-2 HCAPLUS
CN Benzamide, 4-[(3-aminophenyl)-4-piperidinylidenemethyl]-N,N-diethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-8.58	-8.58

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